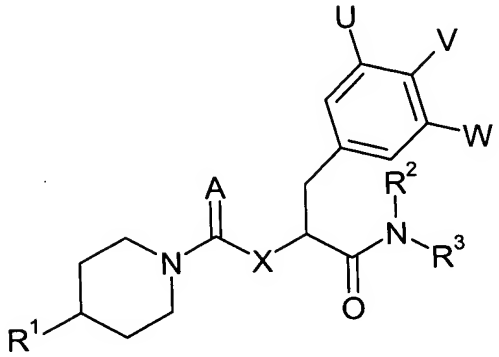
Patent Claims

1. CGRP antagonists of general formula



, (I)

wherein

A denotes an oxygen or sulphur atom, a phenylsulphonylimino or cyanimino group,

X denotes an oxygen or sulphur atom, an imino group optionally substituted by a C_{1-6}-alkyl group or a methylene group optionally substituted by a C_{1-6}-alkyl group,

U denotes a C_{1-6}-alkyl, C_{2-6}-alkenyl or C_{2-6}-alkynyl group wherein each methylene group may be substituted by up to 2 fluorine atoms and each methyl group may be substituted by up to 3 fluorine atoms,

V denotes a chlorine or bromine atom, an amino, methylamino or hydroxy group,

W denotes a hydrogen, fluorine, chlorine, bromine or iodine atom, a difluoro- or trifluoromethyl group,

R^1 denotes a saturated, mono- or diunsaturated 5- to 7-membered aza, diaza, triaza, oxaza, thiaza, thiadiaza or S,S-dioxido-thiadiaza heterocyclic group,

in which the abovementioned heterocycles are linked via a carbon or nitrogen atom,

contain one or two carbonyl or thiocarbonyl groups adjacent to a nitrogen atom,

may be substituted at one of the nitrogen atoms by an alkyl group,

may be substituted at one or at two carbon atoms by an alkyl group, by a phenyl, phenylmethyl, naphthyl, biphenylyl, pyridinyl, diazinyl, furyl, thienyl, pyrrolyl, 1,3-oxazolyl, 1,3-thiazolyl, isoxazolyl, pyrazolyl, 1-methylpyrazolyl, imidazolyl or 1-methylimidazolyl group, while the substituents may be identical or different, and

while an olefinic double bond of one of the abovementioned unsaturated heterocycles may be fused to a phenyl, naphthyl, pyridine, diazine, 1,3-oxazole, thienyl, furan, thiazole, pyrrole, N-methylpyrrole or quinoline ring, to a 1H-quinolin-2-one ring optionally substituted at the nitrogen atom by an alkyl group or to an imidazole or N-methylimidazole ring or also two olefinic double bonds of one of the abovementioned unsaturated heterocycles may each be fused to a phenyl ring,

while the phenyl, pyridinyl, diazinyl, furyl, thienyl, pyrrolyl, 1,3-oxazolyl, 1,3-thiazolyl, isoxazolyl, pyrazolyl, 1-methylpyrazolyl, imidazolyl or 1-methylimidazolyl groups contained in R^1 as well as benzo-, thieno-, pyrido- and diazino-fused heterocycles in the carbon skeleton may additionally be mono-, di- or trisubstituted by fluorine, chlorine, bromine or iodine atoms, by alkyl, alkoxy, nitro, alkylthio, alkylsulphinyl, alkylsulphonyl, alkylsulphonylamino, phenyl, difluoromethyl, trifluoromethyl, alkoxycarbonyl, carboxy, hydroxy, amino, alkylamino, dialkylamino, acetyl, acetylamino, propionylamino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, (4-morpholinyl)carbonyl, (1-pyrrolidinyl)carbonyl, (1-piperidinyl)carbonyl, (hexahydro-1-azepinyl)carbonyl, (4-methyl-1-piperazinyl)carbonyl, methylenedioxy, aminocarbonylamino, alkanoyl, cyano, difluoromethoxy, trifluoromethoxy, trifluoromethylthio, trifluoromethylsulphinyl or trifluoromethylsulphonyl groups, while the

substituents may be identical or different,

R^2 denotes the hydrogen atom,

a phenylmethyl group or a C_{2-7}-alkyl group which may be substituted in the ω position by a cyclohexyl, phenyl, pyridinyl, diazinyl, hydroxy, amino, alkylamino, dialkylamino, carboxy, alkoxycarbonyl, aminocarbonyl, aminocarbonylamino, acetylamino, 1-pyrrolidinyl, 1-piperidinyl, 4-(1-piperidinyl)-1-piperidinyl, 4-morpholinyl, hexahydro-1H-1-azepinyl, [bis-(2-hydroxyethyl)]amino, 4-alkyl-1-piperazinyl or 4-(ω-hydroxy-C_{2-7}-alkyl)-1-piperazinyl group,

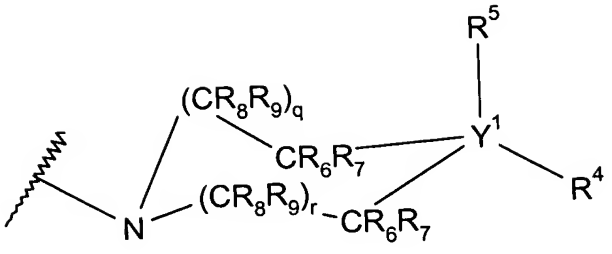
a phenyl or pyridinyl group,

while the abovementioned heterocyclic groups and phenyl groups may additionally be mono- di- or trisubstituted in the carbon skeleton by fluorine, chlorine, bromine or iodine atoms, by methyl, alkoxy, difluoromethyl, trifluoromethyl, hydroxy, amino, C_{1-3}-alkylamino, di-(C_{1-3}-alkyl)-amino, acetylamino, aminocarbonyl, cyano, methylsulphonyloxy, difluoromethoxy, trifluoromethoxy, trifluoromethylthio, trifluoromethylsulphinyl, trifluoromethylsulphonyl, amino-C_{1-3}-alkyl, C_{1-3}-alkylamino-C_{1-3}-alkyl or di-(C_{1-3}-alkyl)-amino-C_{1-3}-alkyl groups and the substituents may be identical or different,

R^3 denotes the hydrogen atom or a C_{1-3}-alkyl group optionally substituted by a phenyl or pyridinyl group,

while the C_{1-3}-alkyl group may be linked to an alkyl group present in R^2 or a phenyl or pyridyl ring present in R^2 and the nitrogen atom to which they are bound, forming a ring, or

R^2 and R^3 together with the enclosed nitrogen atom denote a group of general formula



, (II)

wherein

Y^1 denotes the carbon atom or, if R^5 is a pair of free electrons, it may also denote the nitrogen atom,

q and r, if Y^1 denotes the carbon atom, represent the numbers 0, 1 or 2, or

q and r, if Y^1 denotes the nitrogen atom, represent the numbers 1 or 2,

R^4 denotes the hydrogen atom, an amino, alkylamino, cycloalkylamino, dialkylamino, N-(cycloalkyl)-alkylamino, dicycloalkylamino, hydroxy, alkyl, cycloalkyl, amino-C_{2-7}-alkyl, alkylamino-C_{2-7}-alkyl, dialkylamino-C_{2-7}-alkyl, aminoiminomethyl, alkylcarbonyl, alkylsulphonyl, alkylcarbonylamino, alkylsulphonylamino, N-alkylcarbonyl-N-alkylamino, N-alkylsulphonyl-N-alkylamino, aminocarbonylamino, alkylaminocarbonylamino, dialkylaminocarbonylamino, cycloalkylaminocarbonylamino, dicycloalkylaminocarbonylamino, phenylaminocarbonylamino, aminocarbonylalkyl, alkylaminocarbonylalkyl, dialkylaminocarbonylalkyl, aminocarbonylaminoalkyl, alkoxycarbonyl, alkoxycarbonylalkyl or carboxyalkyl group,

or, if Y^1 does not denote the nitrogen atom, the carboxy, aminomethyl, alkylaminomethyl or dialkylaminomethyl group,

a phenyl, phenyl-C_{1-3}-alkyl, pyridinyl, diazinyl, 1-naphthyl, 2-naphthyl, pyridinylcarbonyl or phenylcarbonyl group which may each be mono-, di- or

trisubstituted in the carbon skeleton by fluorine, chlorine, bromine or iodine atoms, by alkyl, alkoxy, methylsulphonyloxy, difluoromethyl, trifluoromethyl, hydroxy, amino, acetylamino, aminocarbonyl, aminocarbonylamino, aminocarbonylaminomethyl, cyano, carboxy, alkoxycarbonyl, carboxyalkyl, alkoxycarbonylalkyl, alkanoyl, ω-(dialkylamino)alkanoyl, ω-(dialkylamino)alkyl, ω-(dialkylamino)hydroxyalkyl, ω-(carboxy)alkanoyl, difluoromethoxy, trifluoromethoxy, trifluoromethylthio, trifluoromethylsulphinyl or trifluoromethylsulphonyl groups, while the substituents may be identical or different,

a saturated or mono- or polyunsaturated 4- to 10-membered azacycloalkyl group, a 5- to 10-membered oxaza-, thiaza, diaza- or triazacycloalkyl group, a 6- to 10-membered azabicyclo- or diazabicycloalkyl group, a 1-alkyl-4-piperidinylcarbonyl or 4-alkyl-1-piperazinylcarbonyl, a 1-alkyl-4-piperidinylamino, 1-alkyl-4-piperidinylaminocarbonyl or 1-alkyl-4-piperidinylaminosulphonyl group,

while the abovementioned mono- and bicyclic heterocycles are bound via a nitrogen or carbon atom,

a methylene group in the abovementioned mono- and bicyclic heterocycles may be replaced by a carbonyl or sulphonyl group,

in the abovementioned mono- and bicyclic heterocycles any methylene group not directly bound to a nitrogen, oxygen or sulphur atom may be substituted by one or two fluorine atoms,

the abovementioned mono- and bicyclic heterocycles as well as the 1-alkyl-4-piperidinylcarbonyl- and 4-alkyl-1-piperazinylcarbonyl group in the ring may be mono- or polysubstituted by a C_{1-7}-alkyl group and/or

monosubstituted by a benzyl, alkanoyl, dialkylamino, phenylcarbonyl,

pyridinylcarbonyl, carboxy, carboxyalkanoyl, carboxyalkyl, alkoxycarbonylalkyl, alkoxycarbonyl, aminocarbonyl, alkylaminocarbonyl, alkylsulphonyl, cycloalkyl or cycloalkylalkyl group, or substituted by a cycloalkylcarbonyl, azacycloalkylcarbonyl, diazacycloalkylcarbonyl or oxazacycloalkylcarbonyl group optionally alkyl-substituted in the ring,

while the alicyclic moieties contained in these substituents each comprise 3 to 10 ring members and the heteroalicyclic moieties each comprise 4 to 10 ring members and

the phenyl and pyridinyl groups contained in the abovementioned groups may in turn be mono-, di- or trisubstituted by fluorine, chlorine, bromine or iodine atoms, by alkyl, alkoxy, methylsulphonyloxy, difluoromethyl, trifluoromethyl, hydroxy, amino, acetylamino, aminocarbonyl, aminocarbonylamino, aminocarbonylaminomethyl, cyano, carboxy, alkoxycarbonyl, carboxyalkyl, alkoxycarbonylalkyl, alkanoyl, ω-(dialkylamino)alkanoyl, ω-(carboxy)alkanoyl, difluoromethoxy, trifluoromethoxy, trifluoromethylthio, trifluoromethylsulphinyl or trifluoromethylsulphonyl groups, while the substituents may be identical or different,

R^5 denotes a hydrogen atom,

a C_{1-4}-alkyl group , while an unbranched alkyl group may be substituted in the ω position by a phenyl, pyridinyl, diazinyl, amino, alkylamino, dialkylamino, 1-pyrrolidinyl, 1-piperidinyl, 4-methyl-1-piperazinyl, 4-morpholinyl or hexahydro-1H-1-azepinyl group,

an alkoxycarbonyl, the cyano or aminocarbonyl group or also, if Y^1 denotes a nitrogen atom, a pair of free electrons,

or, if Y^1 does not denote a nitrogen atom, also the fluorine atom, or

R^4 and R^5 together, if Y^1 denotes the carbon atom, denote a 4- to 7-membered cycloaliphatic ring in which one or two methylene groups may be replaced by an -NH- or -N(alkyl)- group and one or two additional methylene groups may be replaced by carbonyl groups,

while a hydrogen atom bound to a nitrogen atom within the abovementioned group R^4 may be replaced by a protecting group,

R^6 and R^7, which may be identical or different, in each case denote a hydrogen atom, a C_{1-3}-alkyl or dialkylamino group or also, if Y^1 does not denote a nitrogen atom, the fluorine atom and

R^8 and R^9, which may be identical or different, each denote a hydrogen atom or a C_{1-3}-alkyl, carboxy or C_{1-3}-alkoxycarbonyl group,

while, unless otherwise stated, all the abovementioned alkyl and alkoxy groups as well as the alkyl groups present within the other groups specified comprise 1 to 7 carbon atoms and may be straight-chain or branched, while each methylene group may be substituted by up to 2 fluorine atoms and each methyl group may be substituted by up to 3 fluorine atoms,

all the abovementioned cycloalkyl groups as well as the cycloalkyl groups present within the other groups specified, unless otherwise stated, may comprise 3 to 10 carbon atoms, while each methylene group may be substituted by up to 2 fluorine atoms,

all the abovementioned aromatic and heteroaromatic groups may additionally be mono- di- or trisubstituted by fluorine, chlorine or bromine atoms, by cyano or hydroxy groups and the substituents may be identical or different,

the tautomers, diastereomers, enantiomers, hydrates, mixtures thereof and the salts thereof as well as the hydrates of the salts.

2. Compounds of general formula (I) according to claim 1, wherein

A, U, V, W, X, R^2 and R^3 are defined as in claim 1 and

R^1 denotes a mono- or diunsaturated 5- to 7-membered aza, diaza, triaza or thiaza heterocyclic group,

in which the abovementioned heterocycles are linked via a carbon or nitrogen atom,

contain one or two carbonyl groups adjacent to a nitrogen atom,

may be substituted at a carbon atom by a phenyl, pyridinyl, diazinyl, thienyl, pyrrolyl, 1,3-thiazolyl, isoxazolyl, pyrazolyl or 1-methylpyrazolyl group and

an olefinic double bond of one of the abovementioned unsaturated heterocycles may be fused to a phenyl, naphthyl, pyridine, diazine, thienyl or quinoline ring or to a 1H-quinolin-2-one ring optionally substituted at the nitrogen atom by a methyl group,

while the phenyl, pyridinyl, diazinyl, thienyl, pyrrolyl, 1,3-thiazolyl, isoxazolyl, pyrazolyl or 1-methylpyrazolyl groups contained in R^1 as well as the benzo-, pyrido- and diazino-fused heterocycles in the carbon skeleton may additionally be mono-, di- or trisubstituted by fluorine, chlorine, bromine or iodine atoms, by alkyl, alkoxy, nitro, difluoromethyl, trifluoromethyl, hydroxy, amino, alkylamino, dialkylamino, acetylamino, acetyl, cyano, difluoromethoxy or trifluoromethoxy groups, while the substituents may be identical or different,

while the abovementioned alkyl groups or the alkyl groups contained in the

abovementioned groups, unless otherwise stated, contain 1 to 7 carbon atoms and may be branched or unbranched, while each methylene group may be substituted by up to 2 fluorine atoms and each methyl group may be substituted by up to 3 fluorine atoms, and

the abovementioned aromatic and heteroaromatic groups may additionally be mono- di- or trisubstituted by fluorine, chlorine or bromine atoms or by cyano or hydroxy groups and the substituents may be identical or different,

the tautomers, diastereomers, enantiomers, mixtures thereof and the salts thereof.

3. Compounds of general formula (I) according to claim 1, wherein

A, U, V, W, X, R^2 and R^3 are defined as in claim 1 and

R^1 denotes a monounsaturated 5- to 7-membered diaza or triaza heterocyclic group,

while the abovementioned heterocycles are linked via a nitrogen atom,

contain a carbonyl group adjacent to a nitrogen atom and

may additionally be substituted at a carbon atom by a phenyl group,

and while an olefinic double bond of one of the abovementioned unsaturated heterocycles may be fused to a phenyl, thienyl or quinoline ring,

while the phenyl groups contained in R^1 as well as benzo-fused heterocycles in the carbon skeleton may additionally be mono-, di- or trisubstituted by fluorine, chlorine, bromine or iodine atoms, by methyl, methoxy, nitro, difluoromethyl, trifluoromethyl, hydroxy, amino, alkylamino, dialkylamino, acetylamino, acetyl, cyano, difluoromethoxy or trifluoromethoxy groups, while the substituents may be identical or different, but are preferably unsubstituted, or monosubstituted by

a fluorine, chlorine or bromine atom or by a methyl or methoxy group,

while, unless otherwise stated, all the abovementioned alkyl groups as well as the alkyl groups present within the other groups comprise 1 to 7 carbon atoms and may be straight-chain or branched and the abovementioned aromatic and heteroaromatic groups may additionally be mono- di- or trisubstituted by fluorine, chlorine or bromine atoms or by cyano or hydroxy groups and the substituents may be identical or different,

the tautomers, diastereomers, enantiomers, mixtures thereof and the salts thereof.

4. Compounds of general formula (I) according to claim 1, wherein

A, U, V, W, X, R^2 and R^3 are defined as in claim 1 and

R^1 denotes a 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl, 4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl, 4-(5-oxo-3-phenyl-4,5-dihydro-1,2,4-triazol-1-yl)-piperidin-1-yl, 4-(2-oxo-1,2-dihydro-imidazo[4,5-c]quinolin-3-yl)-piperidin-1-yl, 4-(2-oxo-1,2-dihydro-4H-thieno[3,4-d]pyrimidin-3-yl)-piperidin-1-yl, 4-(2-oxo-1,4-dihydro-2H-thieno[3,2-d]pyrimidin-3-yl)-piperidin-1-yl, 4-(5-oxo-4,5,7,8-tetrahydro-2-thia-4,6-diaza-azulen-6-yl)-piperidin-1-yl, 4-(2-oxo-1,2,4,5-tetrahydro-thieno[3,2-d]-1,3-diazepin-3-yl)-piperidin-1-yl, 4-(2-oxo-1,2,4,5-tetrahydro-thieno[2,3-d]-1,3-diazepin-3-yl)-piperidin-1-yl or 4-(2-oxo-1,4-dihydro-2H-thieno[2,3-d]pyrimidin-3-yl)-piperidin-1-yl group,

while the abovementioned mono- and bicyclic heterocycles in the carbon skeleton may additionally be monosubstituted by a methoxy group,

while the abovementioned aromatic and heteroaromatic groups by fluorine, chlorine or bromine atoms, by cyano or hydroxy groups may additionally be mono- di- or trisubstituted and the substituents may be identical or different,

the tautomers, diastereomers, enantiomers, mixtures thereof and the salts thereof.

5. Compounds of general formula (I) according to claim 1, wherein

A, U, V, W, X and R^1 are defined as in claim 1 and

R^2 denotes the hydrogen atom or

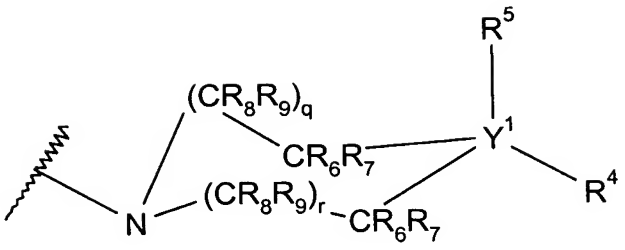
a phenylmethyl group or a C_{2-7}-alkyl group which may be substituted in the ω position by a phenyl, pyridinyl, hydroxy, amino, alkylamino, dialkylamino, carboxy, alkoxycarbonyl, aminocarbonyl, aminocarbonylamino, acetylamino, 1-pyrrolidinyl, 1-piperidinyl, 4-morpholinyl, [bis-(2-hydroxyethyl)]amino group

while the abovementioned heterocyclic groups and phenyl groups may additionally be mono-, di- or trisubstituted in the carbon skeleton by fluorine, chlorine, bromine or iodine atoms, by methyl, alkoxy, difluoromethyl, trifluoromethyl, hydroxy, amino, C_{1-3}-alkylamino, di-(C_{1-3}-alkyl)-amino, acetylamino, aminocarbonyl, cyano, difluoromethoxy, trifluoromethoxy, amino-C_{1-3}-alkyl, C_{1-3}-alkylamino-C_{1-3}-alkyl or di-(C_{1-3}-alkyl)-amino-C_{1-3}-alkyl groups and the substituents may be identical or different,

R^3 denotes the hydrogen atom or a C_{1-3}-alkyl group,

while the C_{1-3}-alkyl group may be linked to an alkyl group present in R^2 or a phenyl or pyridyl ring present in R^2 and the nitrogen atom to which they are bound, forming a 5- to 7-membered ring, or

R^2 and R^3 together with the enclosed nitrogen atom denote a group of general formula



, (II)

wherein

Y^1 denotes the carbon atom or, if R^5 denotes a pair of free electrons, it may also denote the nitrogen atom,

q and r, if Y^1 denotes the carbon atom, represent the numbers 0 or 1 or

q and r, if Y^1 denotes the nitrogen atom, represent the numbers 1 or 2,

R^4 denotes the hydrogen atom, a hydroxy, amino, alkylamino, C_{3-6}-cycloalkylamino, N-(C_{3-6}-cycloalkyl)-alkylamino or dialkylamino, an alkyl, trifluoromethyl, C_{3-6}-cycloalkyl, dialkylamino-C_{2-7}-alkyl, carboxyalkyl, alkoxycarbonylalkyl, alkylsulphonyl, alkylsulphonylamino or N-(alkylsulphonyl)-alkylamino group,

or, if Y^1 does not denote the nitrogen atom, it denotes the carboxy or dialkylaminomethyl group,

a phenyl, phenyl-C_{1-3}-alkyl, pyridinyl or diazinyl group each of which may be substituted by a fluorine, chlorine or bromine atom or by a trifluoromethylcarbonyl, methyl or methoxy group,

a saturated or mono- or polyunsaturated 4- to 7-membered azacycloalkyl group, a 5- to 7-membered oxaza-, diaza or triazacycloalkyl group, a 7- to 9-membered azabicyclo or diazabicycloalkyl group, a 1-alkyl-4-piperidinylamino or 1-alkyl-4-piperidinylaminosulphonyl group,

while the abovementioned mono- and bicyclic heterocycles are bound via a nitrogen or carbon atom,

a methylene group of the abovementioned mono- and bicyclic heterocycles may be replaced by a carbonyl or sulphonyl group,

in the abovementioned mono- and bicyclic heterocycles any methylene group not directly bound to a nitrogen, oxygen or sulphur atom may be substituted by one or two fluorine atoms,

the abovementioned mono- and bicyclic heterocycles may be substituted by one or two C_{1-3}-alkyl groups wherein each methylene group may be substituted by up to 2 fluorine atoms and each methyl group may be substituted by up to 3 fluorine atoms, and/or

by a C_{3-6}-cycloalkyl-C_{1-3}-alkyl, benzyl, C_{1-4}-alkanoyl, di-(C_{1-3}-alkyl)-amino or C_{1-3}-alkylsulphonyl, by an alkoxycarbonyl, benzyloxycarbonyl, alkoxycarbonylalkyl, carboxy or carboxyalkyl group,

R^5 denotes a hydrogen atom, a C_{1-3}-alkyl or alkoxycarbonyl group or,

if Y^1 denotes a nitrogen atom, it may also denote a pair of free electrons, or

R^4 and R^5 together, if Y^1 denotes the carbon atom, represent a 5- to 6-membered cycloaliphatic ring in which one or two methylene groups may be replaced by a –NH- or –N(methyl)- group and one or two further methylene groups may be replaced by carbonyl groups,

R^6 and R^7, which may be identical or different, in each case denote a hydrogen atom or a C_{1-3}-alkyl or di-(C_{1-3}-alkyl)-amino group and

R^8 and R^9, which may be identical or different, in each case denote a hydrogen atom or a C_{1-3}-alkyl, carboxy or C_{1-3}-alkoxycarbonyl group,

while, unless otherwise stated, all the abovementioned alkyl groups as well as the alkyl groups present within the other groups comprise 1 to 7 carbon atoms and may be straight-chain or branched and the abovementioned aromatic and heteroaromatic groups may additionally be mono-, di- or trisubstituted by fluorine, chlorine or bromine atoms or by cyano or hydroxy groups and the substituents may be identical or different,

the tautomers, diastereomers, enantiomers, mixtures thereof and the salts thereof.

6. Compounds of general formula (I) according to claim 1, wherein

A, U, V, W, X and R^1 are defined as in claim 1 and

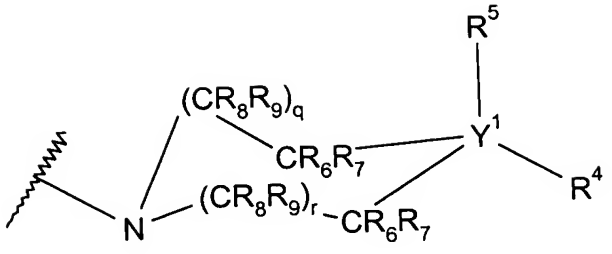
R^2 denotes a phenylmethyl group or a C_{2-7}-alkyl group which may be substituted in the ω position by a phenyl, amino, alkylamino or dialkylamino group,

while the abovementioned phenyl group may be substituted by an amino-C_{1-3}-alkyl, C_{1-3}-alkylamino-C_{1-3}alkyl or di-(C_{1-3}-alkyl)-amino-C_{1-3}-alkyl group, or

R^3 denotes the hydrogen atom or a C_{1-3}-alkyl group,

R^2 and R^3 together with the nitrogen atom to which they are bound denote a 7-dimethylaminomethyl-1,2,4,5-tetrahydro-3-benzazepin-3-yl or 2-amino-4,5,7,8-tetrahydro-thiazolo[4,5-d]azepin-6-yl- group or

R^2 and R^3 together with the enclosed nitrogen atom denote a group of general formula



, (II)

wherein

Y^1 denotes the carbon atom or, if R^5 denotes a pair of free electrons, it may also denote the nitrogen atom,

q and r, if Y^1 denotes the carbon atom, represent the numbers 0 or 1 or

q and r, if Y^1 denotes the nitrogen atom, represent the numbers 1 or 2,

R^4 denotes the hydrogen atom,

a phenyl, benzyl or pyridinyl group which may be substituted in each case by a fluorine, chlorine or bromine atom, by a trifluoromethylcarbonyl, methyl or methoxy group,

a hydroxy, carboxy, methyl, trifluoromethyl, n-propyl, phenyl, p-tolyl, p-trifluoromethylcarbonyl-phenyl, p-(3-dimethylaminopropyl)-phenyl, amino, benzyl, tert-butylamino, dimethylamino, diethylamino, diethylaminomethyl, 2-dimethylaminoethyl, 2-diethylaminoethyl, 5-aminopentyl, methoxycarbonyl, methoxycarbonylmethyl, perhydro-azepin-1-yl, 4-methyl-perhydro-1,4-diazepin-1-yl, 1-methyl-1-piperidinyl-4-yl, 4-piperazin-1-yl, 4-acetyl-piperazin-1-yl, 4-cyclopropylmethyl-piperazin-1-yl, pyrrolidin-1-yl, 4-ethyl-piperazin-1-yl, 4-isopropyl-piperazin-1-yl, piperidin-1-yl, piperidin-4-yl, morpholin-4-yl, 4,4-difluoro-1-piperidin-1-yl, 1-methyl-1-aza-bicyclo[3.2.1]oct-4-yl, 4-methyl-piperazin-1-yl, 4-ethylpiperazin-1-yl, 1-methyl-piperidin-1-yl, 4-carboxymethyl-

piperazin-1-yl, 1-carboxymethyl-piperidin-4-yl, 4-benzyloxycarbonyl-piperazin-1-yl, 1-ethoxycarbonylmethyl-piperidin-4-yl, azetidin-1-yl, 5-methyl-2,5-diaza-bicyclo[2.2.1]hept-2-yl, 1-benzyl-piperidin-4-yl, 4-benzyl-piperazin-1-yl, 4-dimethylaminomethyl-1-phenyl, 2,2,2-trifluoroethyl-piperazin-1-yl, 1-methyl-sulphonyl-piperidin-4-yl, piperidin-1-yl-methyl, 1-methyl-piperidin-4-yl-amino, methylsulphonylamino, N-methylsulphonyl-N-methylamino, N-(cyclopentyl)-methylamino, 1,1-dioxo-λ^6-isothiazolidin-2-yl, 2-oxo-perhydro-1,3-oxazin-3-yl, cyclohexyl, 2-oxo-imidazolidin-1-yl, 2-methyl-imidazol-1-yl, 4-methyl-imidazol-1-yl, 4-thiazol-2-yl, 2,4-dimethyl-imidazol-1-yl, 4-imidazol-1-yl, 1,2,4-triazol-1-yl, 1-aza-bicyclo[2.2.2]oct-3-yl, 1-methyl-piperidin-4-yl-methylsulphonyl, 1H-imidazol-4-yl, 4-ethoxycarbonylmethyl-piperazin-1-yl, 1-ethoxycarbonyl-piperidin-4-yl, 4-tert-butoxycarbonylmethyl-piperazin-1-yl, 1-(2,2,2-trifluoroethyl)-piperidin-4-yl, 4-methylsulphonyl-piperazin-1-yl, 2-carboxy-4-methyl-piperazin-1-yl, 3-carboxy-4-methyl-piperazin-1-yl, 2-ethoxycarbonyl-4-methyl-piperazin-1-yl, 3-ethoxycarbonyl-4-methyl-piperazin-1-yl or 4-(2,2,2-trifluoroethyl)-piperazin-1-yl- group,

R^5 denotes a hydrogen atom, a methyl group or, if Y^1 denotes a nitrogen atom, it may also denote a pair of free electrons, or

R^4 and R^5 together, if Y^1 denotes the carbon atom, denote a 1-methyl-piperidin-4-ylidene, cyclohexylidene or imidazolidin-2,4-dion-5-ylidene group,

R^6 and R^7 in each case denote a hydrogen atom or a dimethylamino group and

R^8 and R^9 in each case denote the hydrogen atom, a carboxy or ethoxycarbonyl group,

while, unless otherwise stated, all the abovementioned alkyl groups as well as the alkyl groups present within the other groups comprise 1 to 7 carbon atoms and may be straight-chain or branched and the abovementioned aromatic and heteroaromatic groups may additionally be mono-, di- or trisubstituted by fluorine, chlorine or bromine atoms, by

cyano or hydroxy groups and the substituents may be identical or different,

the tautomers, diastereomers, enantiomers, mixtures thereof and the salts thereof.

7. Compounds of general formula (I) according to claim 1, wherein

A denotes an oxygen atom, a cyanoimino or phenylsulphonylimino group,

X denotes an oxygen atom, an imino or methylene group,

U denotes an unbranched C_{1-6}-alkyl, C_{2-6}-alkenyl or C_{2-6}-alkynyl group wherein each methylene group may be substituted by up to 2 fluorine atoms and the methyl group may be substituted by up to 3 fluorine atoms,

V denotes an amino or hydroxy group and

W denotes a hydrogen, chlorine or bromine atom or a trifluoromethyl group,

R^1 denotes a monounsaturated 5- to 7-membered diaza- or triaza- heterocyclic group,

while the abovementioned heterocycles are linked via a nitrogen atom,

contain a carbonyl group adjacent to a nitrogen atom,

may additionally be substituted at a carbon atom by a phenyl group and

an olefinic double bond of one of the abovementioned unsaturated heterocycles may be fused to a phenyl, thienyl or quinoline ring,

while the phenyl groups contained in R^1 as well as benzo-fused heterocycles in the carbon skeleton may additionally be mono-, di- or trisubstituted by fluorine,

chlorine, bromine or iodine atoms, by methyl, methoxy, nitro, difluoromethyl, trifluoromethyl, hydroxy, amino, alkylamino, dialkylamino, acetylamino, acetyl, cyano, difluoromethoxy or trifluoromethoxy groups, while the substituents may be identical or different, but are preferably unsubstituted or are monosubstituted by a fluorine, chlorine or bromine atom or by a methyl or methoxy group,

R^2 denotes the hydrogen atom or

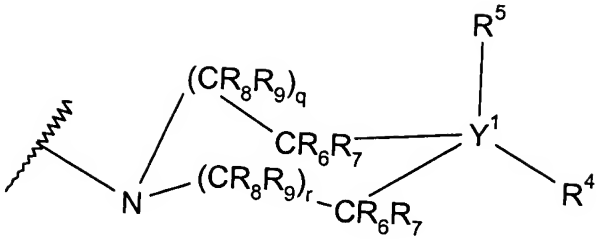
a phenylmethyl group or a C_{2-7}-alkyl group which may be substituted in the ω position by a phenyl, pyridinyl, hydroxy, amino, alkylamino, dialkylamino, alkoxycarbonyl, carboxy, aminocarbonyl, aminocarbonylamino, acetylamino, 1-pyrrolidinyl, 1-piperidinyl, 4-morpholinyl or [bis-(2-hydroxyethyl)]amino group,

while the abovementioned heterocyclic groups and phenyl groups may additionally be mono-, di- or trisubstituted in the carbon skeleton by fluorine, chlorine, bromine or iodine atoms, by methyl, alkoxy, difluoromethyl, trifluoromethyl, hydroxy, amino, C_{1-3}-alkylamino, di-(C_{1-3}-alkyl)-amino, acetylamino, aminocarbonyl, cyano, difluoromethoxy, trifluoromethoxy, amino-C_{1-3}-alkyl, C_{1-3}-alkylamino-C_{1-3}-alkyl or di-(C_{1-3}-alkyl)-amino-C_{1-3}-alkyl groups and the substituents may be identical or different,

R^3 denotes the hydrogen atom or a C_{1-3}-alkyl group,

while the C_{1-3}-alkyl group may be linked to an alkyl group present in R^2 or a phenyl or pyridyl ring present in R^2 and the nitrogen atom to which they are bound, forming a 5- to 7-membered ring, or

R^2 and R^3 together with the enclosed nitrogen atom denote a group of general formula



, (II)

wherein

Y^1 denotes the carbon atom or, if R^5 denotes a pair of free electrons, it may also denote the nitrogen atom,

q and r, if Y^1 denotes the carbon atom, represent the numbers 0 or 1 or

q and r, if Y^1 denotes the nitrogen atom, represent the numbers 1 or 2,

R^4 denotes the hydrogen atom, a hydroxy, amino, alkylamino, C_{3-6}-cycloalkylamino, N-(C_{3-6}-cycloalkyl)-alkylamino or dialkylamino, an alkyl, trifluoromethyl, C_{3-6}-cycloalkyl, dialkylamino-C_{2-7}-alkyl, carboxyalkyl, alkoxycarbonylalkyl, alkylsulphonyl, alkylsulphonylamino or N-(alkylsulphonyl)-alkylamino group,

or, if Y^1 does not denote the nitrogen atom, it denotes the carboxy or dialkylaminomethyl group,

a phenyl, phenyl-C_{1-3}-alkyl, pyridinyl or diazinyl group which may be substituted in each case by a fluorine, chlorine or bromine atom, by a trifluoromethylcarbonyl, methyl or methoxy group,

a saturated or mono- or polyunsaturated 4- to 7-membered azacycloalkyl group, a 5- to 7-membered oxaza-, diaza- or triazacycloalkyl group, a 7- to 9-membered azabicyclo- or diazabicycloalkyl group, a 1-alkyl-4-piperidinylamino or 1-alkyl-4-piperidinylaminosulphonyl group,

while the abovementioned mono- and bicyclic heterocycles are bound via a nitrogen or carbon atom,

a methylene group of the abovementioned mono- and bicyclic heterocycles may be replaced by a carbonyl or sulphonyl group,

in the abovementioned mono- and bicyclic heterocycles any methylene group not directly bound to a nitrogen, oxygen or sulphur atom may be substituted by one or two fluorine atoms,

the abovementioned mono- and bicyclic heterocycles may be substituted by one or two C_{1-3}-alkyl groups, wherein each methylene group may be substituted by up to 2 fluorine atoms and each methyl group may be substituted by up to 3 fluorine atoms, and/or

may be substituted by a C_{3-6}-cycloalkyl-C_{1-3}-alkyl, benzyl, C_{1-4}-alkanoyl, di-(C_{1-3}-alkyl)-amino or C_{1-3}-alkylsulphonyl, by an alkoxycarbonyl, benzyloxycarbonyl, alkoxycarbonylalkyl, carboxy or carboxyalkyl group,

R^5 denotes a hydrogen atom, a C_{1-3}-alkyl or alkoxycarbonyl group or,

if Y^1 denotes a nitrogen atom, it may also denote a pair of free electrons, or

R^4 and R^5 together, if Y^1 denotes the carbon atom, denote a 5- to 6-membered cycloaliphatic ring wherein one or two methylene groups may be replaced by a –NH- or –N(methyl)- group and one or two further methylene groups may be replaced by one or two carbonyl groups,

R^6 and R^7, which may be identical or different, in each case denote the hydrogen atom or a C_{1-3}-alkyl or di-(C_{1-3}-alkyl)-amino group and

R^8 and R^9, which may be identical or different, in each case denote the hydrogen atom or a C_{1-3}-alkyl, carboxy or C_{1-3}-alkoxycarbonyl group,

while, unless otherwise stated, the abovementioned alkyl groups or the alkyl groups contained in the abovementioned groups contain 1 to 7 carbon atoms and may be branched or unbranched and the abovementioned aromatic and heteroaromatic groups may additionally be mono-, di- or trisubstituted by fluorine, chlorine or bromine atoms, by cyano or hydroxy groups and the substituents may be identical or different

the tautomers, enantiomers, diastereomers, mixtures thereof and the salts thereof.

8. Compounds of general formula (I) according to claim 1, wherein

A denotes an oxygen atom,

X denotes an oxygen atom, an imino or methylene group,

U denotes a methyl, ethyl, C_{2-4}-alkenyl or C_{2-4}-alkynyl group wherein the methylene group may be substituted by up to 2 fluorine atoms and the methyl group may be substituted by up to 3 fluorine atoms,

V denotes an amino or hydroxy group,

W denotes a hydrogen, chlorine or bromine atom or a trifluoromethyl group,

R^1 denotes a 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl, 4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl, 4-(5-oxo-3-phenyl-4,5-dihydro-1,2,4-triazol-1-yl)-piperidin-1-yl, 4-(2-oxo-1,2-dihydro-imidazo[4,5-c]quinolin-3-yl)-piperidin-1-yl, 4-(2-oxo-1,2-dihydro-4H-thieno[3,4-d]pyrimidin-3-yl)-piperidin-1-yl, 4-

(2-oxo-1,4-dihydro-2H-thieno[3,2-d]pyrimidin-3-yl)-piperidin-1-yl, 4-(5-oxo-4,5,7,8-tetrahydro-2-thia-4,6-diaza-azulen-6-yl)-piperidin-1-yl, 4-(2-oxo-1,2,4,5-tetrahydro-thieno[3,2-d]-1,3-diazepin-3-yl)-piperidin-1-yl, 4-(2-oxo-1,2,4,5-tetrahydro-thieno[2,3-d]-1,3-diazepin-3-yl)-piperidin-1-yl or 4-(2-oxo-1,4-dihydro-2H-thieno[2,3-d]pyrimidin-3-yl)-piperidin-1-yl group,

while the abovementioned mono- and bicyclic heterocycles in the carbon skeleton may additionally be monosubstituted by a methoxy group,

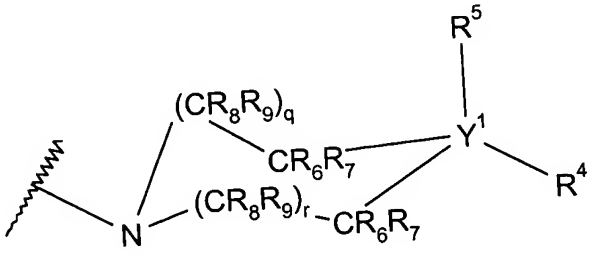
R^2 denotes a phenylmethyl group or a C_{2-7}-alkyl group which may be substituted in the ω position by a phenyl, amino, alkylamino or dialkylamino group,

while the abovementioned phenyl group may be substituted by an amino-C_{1-3}-alkyl, C_{1-3}-alkylamino-C_{1-3}-alkyl or di-(C_{1-3}-alkyl)-amino-C_{1-3}-alkyl group, or

R^3 denotes the hydrogen atom or a C_{1-3}-alkyl group,

R^2 and R^3 together with the nitrogen atom to which they are bound denote a 7-dimethylaminomethyl-1,2,4,5-tetrahydro-3-benzazepin-3-yl or 2-amino-4,5,7,8-tetrahydro-thiazolo[4,5-d]azepin-6-yl- group or

R^2 and R^3 together with the enclosed nitrogen atom denote a group of general formula



, (III)

wherein

Y^1 represents the carbon atom or, if R^5 denotes a pair of free electrons, it may also denote the nitrogen atom,

q and r, if Y^1 denotes the carbon atom, represent the numbers 0 or 1 or

q and r, if Y^1 denotes the nitrogen atom, represent the numbers 1 or 2,

R^4 denotes the hydrogen atom,

a phenyl, benzyl or pyridinyl group which may be substituted in each case by a fluorine, chlorine or bromine atom, by a trifluoromethylcarbonyl, methyl or methoxy group,

a hydroxy, carboxy, methyl, trifluoromethyl, n-propyl, phenyl, p-tolyl, p-trifluoromethylcarbonyl-phenyl, p-(3-dimethylaminopropyl)-phenyl, amino, benzyl, tert-butylamino, dimethylamino, diethylamino, diethylaminomethyl, 2-dimethylaminoethyl, 2-diethylaminoethyl, 5-aminopentyl, methoxycarbonyl, methoxycarbonylmethyl, perhydro-azepin-1-yl, 4-methyl-perhydro-1,4-diazepin-1-yl, 1-methyl-1-piperidinyl-4-yl, 4-piperazin-1-yl, 4-acetyl-piperazin-1-yl, 4-cyclopropylmethyl-piperazin-1-yl, pyrrolidin-1-yl, 4-ethyl-piperazin-1-yl, 4-isopropyl-piperazin-1-yl, piperidin-1-yl, piperidin-4-yl, morpholin-4-yl, 4,4-difluoro-1-piperidin-1-yl, 1-methyl-1-aza-bicyclo[3.2.1]oct-4-yl, 4-methyl-piperazin-1-yl, 4-ethylpiperazin-1-yl, 1-methyl-piperidin-1-yl, 4-carboxymethyl-piperazin-1-yl, 1-carboxymethyl-piperidin-4-yl, 4-benzyloxycarbonyl-piperazin-1-yl, 1-ethoxycarbonylmethyl-piperidin-4-yl, azetidin-1-yl, 5-methyl-2,5-diaza-bicyclo[2.2.1]hept-2-yl, 1-benzyl-piperidin-4-yl, 4-benzyl-piperazin-1-yl, 4-dimethylaminomethyl-1-phenyl, 2,2,2-trifluoroethyl-piperazin-1-yl, 1-methyl-sulphonyl-piperidin-4-yl, piperidin-1-yl-methyl, 1-methyl-piperidin-4-yl-amino, methylsulphonylamino, N-methylsulphonyl-N-methylamino, N-(cyclopentyl)-methylamino, 1,1-dioxo-λ^6-isothiazolidin-2-yl, 2-oxo-perhydro-1,3-oxazin-3-yl, cyclohexyl, 2-oxo-imidazolidin-1-yl, 2-methyl-imidazol-1-yl, 4-methyl-imidazol-

1-yl, 4-thiazol-2-yl, 2,4-dimethyl-imidazol-1-yl, 4-imidazol-1-yl, 1,2,4-triazol-1-yl, 1-aza-bicyclo[2.2.2]oct-3-yl, 1-methyl-piperidin-4-yl-methylsulphonyl, 1H-imidazol-4-yl, 4-ethoxycarbonylmethyl-piperazin-1-yl, 1-ethoxycarbonyl-piperidin-4-yl, 4-tert-butoxycarbonylmethyl-piperazin-1-yl, 1-(2,2,2-trifluoroethyl)-piperidin-4-yl, 4-methylsulphonyl-piperazin-1-yl, 2-carboxy-4-methyl-piperazin-1-yl, 3-carboxy-4-methyl-piperazin-1-yl, 2-ethoxycarbonyl-4-methyl-piperazin-1-yl, 3-ethoxycarbonyl-4-methyl-piperazin-1-yl or 4-(2,2,2-trifluoroethyl)-piperazin-1-yl group,

R^5 denotes a hydrogen atom, a methyl group or, if Y^1 denotes a nitrogen atom, it may also denote a pair of free electrons, or

R^4 and R^5 together, if Y^1 denotes the carbon atom, denote a 1-methyl-piperidin-4-ylidene, cyclohexylidene or imidazolidin-2,4-dion-5-ylidene group,

R^6 and R^7 in each case denote a hydrogen atom or a dimethylamino group and

R^8 and R^9 in each case denote the hydrogen atom, a carboxy or ethoxycarbonyl group,

while, unless otherwise stated, all the abovementioned alkyl groups as well as the alkyl groups present within the other groups comprise 1 to 7 carbon atoms and may be straight-chain or branched and the abovementioned aromatic and heteroaromatic groups may additionally be mono-, di- or trisubstituted by fluorine, chlorine or bromine atoms or by cyano or hydroxy groups and the substituents may be identical or different,

the enantiomers, the diastereomers and the salts thereof.

9. The following compounds of general formula (I) according to claim 1:

(1) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-

piperidin-1-yl]-butan-1,4-dione,

(2) 2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(1'-methyl-[4,4']bipiperidinyl-1-yl)-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(3) 2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(4) 2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[1,4']bipiperidinyl-1'-yl-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(5) 2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-1-(4-pyridin-4-yl-piperazin-1-yl)-butan-1,4-dione,

(6) 2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(1-methyl-piperidin-4-ylamino)-piperidin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(7) [4-(1-{2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-piperidin-4-yl)-piperazin-1-yl]-acetic acid,

(8) methyl (1'-{2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-[4,4']bipiperidinyl-1-yl)-acetate,

(9) (1'-{2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-[4,4']bipiperidinyl-1-yl)-acetic acid,

(10) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carboxylic acid-[(R)-1-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-2-1,4'-bipiperidinyl-1'-yl-2-oxo-ethyl]-amide,

(11) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carboxylic acid-[(R)-1-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-2-(4-dimethylamino-piperidin-1-yl)-2-oxo-ethyl]-amide,

(12) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carboxylic acid-[(R)-1-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-2-oxo-2-(4-pyridin-4-yl-piperazin-1-yl)-ethyl]-amide,

(13) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carboxylic acid-[(R)-1-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-2-(1'-methyl-4,4'-bipiperidinyl-1-yl)-2-oxo-ethyl]-amide,

(14) benzyl 4-[1-((R)-3-(4-amino-3-chloro-5-trifluoromethyl-phenyl)-2-{[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carbonyl]-amino}-propionyl)-piperidin-4-yl]-piperazin-1-carboxylate,

(15) ethyl [1'-((R)-3-(4-amino-3-chloro-5-trifluoromethyl-phenyl)-2-{[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carbonyl]-amino}-propionyl)-4,4'-bipiperidinyl-1-yl]-acetate,

(16) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carboxylic acid-{(R)-1-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-2-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-ethyl}-amide,

(17) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carboxylic acid-{(R)-1-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-2-[4-(1-methyl-piperidin-4-

yl)-piperazin-1-yl]-2-oxo-ethyl}-amide,

(18) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carboxylic acid-[(R)-1-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-2-(4-azetidin-1-yl-piperidin-1-yl)-2-oxo-ethyl]-amide,

(19) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carboxylic acid-{(R)-1-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-2-[4-(5-methyl-2,5-diaza-bicyclo[2.2.1]hept-2-yl)-piperidin-1-yl]-2-oxo-ethyl}-amide,

(20) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carboxylic acid-[(R)-1-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-2-oxo-2-(4-piperazin-1-yl-piperidin-1-yl)-ethyl]-amide,

(21) [1'-((R)-3-(4-amino-3-chloro-5-trifluoromethyl-phenyl)-2-{[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carbonyl]-amino}-propionyl)-4,4'-bipiperidinyl-1-yl]-acetic acid,

(22) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carboxylic acid-[1-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-2-1,4'-bipiperidinyl-1'-yl-2-oxo-ethyl]-amide,

(23) (S)-2-(4-amino-3-bromo-5-trifluoromethyl-benzyl)-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(24) (S)-2-(4-amino-3-bromo-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(4-pyridin-4-yl-piperazin-1-yl)-butan-1,4-dione,

(25) (S)-2-(4-amino-3-bromo-5-trifluoromethyl-benzyl)-1-1,4'-bipiperidinyl-1'-yl-4-[4-

(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(26) (S)-2-(4-amino-3-bromo-5-trifluoromethyl-benzyl)-1-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(27) (S)-2-(4-amino-3-bromo-5-trifluoromethyl-benzyl)-1-(1'-methyl-4,4'-bipiperidinyl-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(28) (S)-2-(4-amino-3-trifluoromethyl-benzyl)-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(29) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(4-dimethylamino-piperidin-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(30) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(3-dimethylamino-piperidin-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(31) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(4-pyrrolidin-1-yl-piperidin-1-yl)-butan-1,4-dione,

(32) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(1'-methyl-4,4'-bipiperidinyl-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(33) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(4-cyclopropylmethyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(34) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(4-morpholine-4-yl-piperidin-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(35) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(4-perhydro-azepin-1-yl-piperidin-1-yl)-butan-1,4-dione,

(36) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(4-methyl-perhydro-1,4-diazepin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(37) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(4-isopropyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(38) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-1,4'-bipiperidinyl-1'-yl-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(39) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(1-methyl-piperidin-4-yl)-perhydro-1,4-diazepin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(40) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[3-(4-methyl-piperazin-1-yl)-azetidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-

piperidin-1-yl]-butan-1,4-dione,

(41) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(3-pyrrolidin-1-yl-azetidin-1-yl)-butan-1,4-dione,

(42) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(3-piperidin-1-yl-azetidin-1-yl)-butan-1,4-dione,

(43) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(3-diethylamino-azetidin-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(44) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(4-azetidin-1-yl-piperidin-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(45) (S)-1-[4-(4-acetyl-piperazin-1-yl)-piperidin-1-yl]-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(46) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(4-diethylaminomethyl-piperidin-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(47) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(4-ethyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(48) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(1-ethyl-piperidin-4-yl)-

piperazin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(49) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(3,4,5,6-tetrahydro-2H-4,4'-bipyridinyl-1-yl)-butan-1,4-dione,

(50) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(4-pyridin-4-yl-piperazin-1-yl)-butan-1,4-dione,

(51) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(3-perhydro-azepin-1-yl-azetidin-1-yl)-butan-1,4-dione,

(52) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(1-benzyl-piperidin-4-yl)-piperazin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(53) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(4-benzyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(54) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(7-dimethylaminomethyl-1,2,4,5-tetrahydro-3-benzazepin-3-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(55) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(4-dimethylaminomethyl-phenyl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(56) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-{4-[4-(2,2,2-trifluoro-ethyl)-piperazin-1-yl]-piperidin-1-yl}-butan-1,4-dione,

(57) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(1'-methanesulphonyl-4,4'-bipiperidinyl-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(58) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(9-methyl-3,9-diaza-spiro[5.5]undec-3-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(59) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(4-piperidin-1-yl-methyl-piperidin-1-yl)-butan-1,4-dione,

(60) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(2-dimethylamino-ethyl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(61) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-N-methyl-N-[2-(1-methyl-piperidin-4-yl)-ethyl]-4-oxo-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butyramide,

(62) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-N-methyl-N-(1-methyl-piperidin-4-ylmethyl)-4-oxo-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butyramide,

(63) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-piperidin-1-yl-butan-1,4-dione,

(64) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(4-propyl-piperidin-1-yl)-butan-1,4-dione,

(65) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(4-benzyl-piperidin-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(66) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(2-diethylamino-ethyl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(67) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(3-aza-spiro[5.5]undec-3-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(68) N-(1-{(S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-oxo-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butyryl}-piperidin-4-yl)-N-methyl-methanesulphonamide,

(69) N-(1-{(S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-oxo-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butyryl}-piperidin-4-yl)-methanesulphonamide,

(70) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(cyclopentyl-methyl-amino)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(71) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(4-methyl-piperidin-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(72) methyl (1-{(S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-oxo-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butyryl}-piperidin-4-yl)-acetate,

(73) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(4-hydroxy-piperidin-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(74) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(4-trifluoromethyl-piperidin-1-yl)-butan-1,4-dione,

(75) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(1,1-dioxo-1,6-isothiazolidin-2-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(76) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(2-oxo-perhydro-1,3-oxazin-3-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(77) methyl 1-{(S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-oxo-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butyryl}-piperidine-4-carboxylate,

(78) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(4-cyclohexyl-piperidin-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(79) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(4-tert-butylamino-piperidin-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(80) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(4-phenyl-piperidin-1-yl)-butan-1,4-dione,

(81) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(4-p-tolyl-piperidin-1-yl)-butan-1,4-dione,

(82) 8-{(S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-oxo-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butyryl}-1,3,8-triaza-spiro[4.5]decan-2,4-dione,

(83) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(2-oxo-imidazolidin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(84) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(4-amino-4-methyl-piperidin-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(85) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(86) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(2-amino-4,5,7,8-tetrahydro-thiazolo[4,5-d]azepin-6-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(87) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(2-methyl-imidazol-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(88) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(4-methyl-imidazol-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(89) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(4-thiazol-2-yl-piperazin-1-yl)-butan-1,4-dione,

(90) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(2,4-dimethyl-imidazol-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(91) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(4-imidazol-1-yl-piperidin-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(92) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(4-1,2,4-triazol-1-yl-piperidin-1-yl)-butan-1,4-dione,

(93) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(1-aza-bicyclo[2.2.2]oct-3-yl)-piperazin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(94) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-piperazin-1-yl-butan-1,4-dione,

(95) 4-{(S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-oxo-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butyryl}-piperazin-1-sulphonic acid (1-methyl-piperidin-4-yl)-amide,

(96) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-N-(5-amino-pentyl)-4-oxo-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butyramide,

(97) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-N-(3-aminomethyl-benzyl)-4-oxo-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butyramide,

(98) 1-{(S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-oxo-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butyryl}-piperidin-4-carboxylic acid,

(99) (1-{(S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-oxo-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butyryl}-piperidin-4-yl)-acetic acid,

(100) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(8-methyl-8-aza-bicyclo[3.2.1]oct-3-yl)-piperazin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(101) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(4-piperazin-1-yl-piperidin-1-yl)-butan-1,4-dione,

(102) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(1H-imidazol-4-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(103) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-{4-[4-(2,2,2-trifluoro-acetyl)-phenyl]-piperazin-1-yl}-butan-1,4-dione,

(104) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(105) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(5-oxo-3-phenyl-4,5-dihydro-1,2,4-triazol-1-yl)-piperidin-1-yl]-butan-1,4-dione,

(106) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2-dihydro-imidazo[4,5-c]quinoline-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(107) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2-dihydro-4H-thieno[3,4-d]pyrimidin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(108) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(5-methyl-2,5-diaza-bicyclo[2.2.1]hept-2-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(109) (S)-2-(4-amino-3,5-bis-trifluoromethyl-benzyl)-1-[4-(4-methyl-perhydro-1,4-diazepin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(110) (S)-2-(4-amino-3,5-bis-trifluoromethyl-benzyl)-1-[4-(1-methyl-piperidin-4-yl)-perhydro-1,4-diazepin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(111) (S)-2-(4-amino-3,5-bis-trifluoromethyl-benzyl)-1-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(112) (S)-2-(4-amino-3,5-bis-trifluoromethyl-benzyl)-1-[4-(1-aza-bicyclo[2.2.2]oct-3-yl)-piperazin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(113) (S)-2-(4-amino-3,5-bis-trifluoromethyl-benzyl)-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(114) (S)-2-(4-amino-3,5-bis-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(4-perhydro-azepin-1-yl-piperidin-1-yl)-butan-1,4-dione,

(115) (S)-2-(4-amino-3,5-bis-trifluoromethyl-benzyl)-1-1,4'-bipiperidinyl-1'-yl-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(116) (S)-2-(4-amino-3,5-bis-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(4-piperazin-1-yl-piperidin-1-yl)-butan-1,4-dione,

(117) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carboxylic acid-{(R)-1-(4-amino-3,5-bis-trifluoromethyl-benzyl)-2-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-ethyl}-amide,

(118) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carboxylic acid-{(R)-1-(4-amino-3,5-bis-trifluoromethyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-ethyl}-amide,

(119) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carboxylic acid-[(R)-1-(4-amino-3,5-bis-trifluoromethyl-benzyl)-2-1,4'-bipiperidinyl-1'-yl-2-oxo-ethyl]-amide,

(120) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carboxylic acid-[(R)-1-(4-amino-3,5-bis-trifluoromethyl-benzyl)-2-oxo-2-(4-piperazin-1-yl-piperidin-1-yl)-ethyl]-amide,

(121) (R)-1-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-2-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-ethyl 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carboxylate,

(122) 4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carboxylic acid [2-[1,4']bi-piperidinyl-1'-yl-1-(4-bromo-3-methyl-benzyl)-2-oxo-ethyl]-amide,

(123) 4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carboxylic acid-[1-(4-bromo-3-methyl-benzyl)-2-(1'-methyl-[4,4']bipiperidinyl-1-yl)-2-oxo-ethyl]-amide,

(124) 4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carboxylic acid-{1-(4-bromo-3-methyl-benzyl)-2-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-ethyl}-amide,

(125) 4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carboxylic acid-{1-(4-bromo-3-methyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-ethyl}-amide,

(126) ethyl {4-[1-(3-(4-bromo-3-methyl-phenyl)-2-{[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carbonyl]-amino}-propionyl)-piperidin-4-yl]-piperazin-1-yl}-acetate,

(127) ethyl [1'-(3-(4-bromo-3-methyl-phenyl)-2-{[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carbonyl]-amino}-propionyl)-[4,4']bipiperidinyl-1-yl]-acetate,

(128) ethyl {4-[4-(3-(4-bromo-3-methyl-phenyl)-2-{[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carbonyl]-amino}-propionyl)-piperazin-1-yl]-piperidin-1-yl}-acetate,

(129) {4-[1-(3-(4-bromo-3-methyl-phenyl)-2-{[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carbonyl]-amino}-propionyl)-piperidin-4-yl]-piperazin-1-yl}-acetic acid,

(130) [1'-(3-(4-bromo-3-methyl-phenyl)-2-{[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carbonyl]-amino}-propionyl)-[4,4']bipiperidinyl-1-yl]-acetic acid,

(131) {4-[4-(3-(4-bromo-3-methyl-phenyl)-2-{[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carbonyl]-amino}-propionyl)-piperazin-1-yl]-piperidin-1-yl}-acetic acid,

(132) 2-(4-bromo-3-methyl-benzyl)-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(133) 2-(4-bromo-3-methyl-benzyl)-1-(1'-methyl-[4,4']bipiperidinyl-1-yl)-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(134) 1-[1,4']Bipiperidinyl-1'-yl-2-(4-bromo-3-methyl-benzyl)-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(135) 2-(4-bromo-3-methyl-benzyl)-1-{4-[4-(3-dimethylamino-propyl)-phenyl]-piperazin-1-yl}-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(136) [4-(1-{2-(4-bromo-3-methyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-piperidin-4-yl)-piperazin-1-yl]-acetic acid,

(137) methyl (1'-{2-(4-bromo-3-methyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-[4,4']bipiperidinyl-1-yl)-acetate,

(138) (1'-{2-(4-bromo-3-methyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-[4,4']bipiperidinyl-1-yl)-acetic acid,

(139) 4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carboxylic acid{1-(4-chloro-3-methyl-benzyl)-2-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-ethyl}-amide,

(140) 4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carboxylic acid-[2-[1,4']bi-piperidinyl-1'-yl-1-(4-chloro-3-methyl-benzyl)-2-oxo-ethyl]-amide,

(141) 4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carboxylic acid-{1-(4-chloro-3-methyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-ethyl}-amide,

(142) 4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carboxylic acid-[1-(4-chloro-3-methyl-benzyl)-2-(1'-methyl-[4,4']bipiperidinyl-1-yl)-2-oxo-ethyl]-amide,

(143) ethyl [1'-(3-(4-chloro-3-methyl-phenyl)-2-{[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carbonyl]-amino}-propionyl)-[4,4']bipiperidinyl-1-yl]-acetate,

(144) tert-butyl {4-[1-(3-(4-chloro-3-methyl-phenyl)-2-{[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carbonyl]-amino}-propionyl)-piperidin-4-yl]-piperazin-1-yl}-acetate,

(145) 4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carboxylic acid-{1-(4-chloro-3-methyl-benzyl)-2-oxo-2-[1'-(2,2,2-trifluoro-ethyl)-[4,4']bipiperidinyl-1-yl]-ethyl}-amide,

(146) 4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carboxylic acid-(1-(4-chloro-3-methyl-benzyl)-2-oxo-2-{4-[4-(2,2,2-trifluoro-ethyl)-piperazin-1-yl]-piperidin-1-yl}-ethyl)-amide,

(147) [1'-(3-(4-chloro-3-methyl-phenyl)-2-{[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carbonyl]-amino}-propionyl)-[4,4']bipiperidinyl-1-yl]-acetic acid,

(148) 2-(4-chloro-3-methyl-benzyl)-1-[4-(4-ethyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(149) 2-(4-chloro-3-methyl-benzyl)-1-(1'-methyl-[4,4']bipiperidinyl-1-yl)-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(150) 1-[1,4']Bipiperidinyl-1'-yl-2-(4-chloro-3-methyl-benzyl)-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(151) 2-(4-chloro-3-methyl-benzyl)-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(152) 2-(4-chloro-3-methyl-benzyl)-1-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(153) 2-(4-chloro-3-methyl-benzyl)-1-[4-(4-methanesulphonyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(154) 2-(4-chloro-3-methyl-benzyl)-1-[4-(4-isopropyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(155) ethyl 1-{2-(4-chloro-3-methyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-4-(1-methyl-piperidin-4-yl)-piperazin-2-carboxylate,

(156) ethyl 1-(1-{2-(4-chloro-3-methyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-piperidin-4-yl)-4-methyl-piperazin-2-carboxylate,

(157) ethyl 4-(1-{2-(4-chloro-3-methyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-piperidin-4-yl)-1-methyl-piperazin-2-carboxylate,

(158) ethyl 4-{2-(4-chloro-3-methyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-1-(1-methyl-piperidin-4-yl)-piperazin-2-carboxylate,

(159) 2-(4-chloro-3-methyl-benzyl)-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-1-[1'-(2,2,2-trifluoro-ethyl)-[4,4']bipiperidinyl-1-yl]-butan-1,4-dione,

(160) 2-(4-chloro-3-methyl-benzyl)-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-1-{4-[4-(2,2,2-trifluoro-ethyl)-piperazin-1-yl]-piperidin-1-yl}-butan-1,4-dione,

(161) [4-(1-{2-(4-chloro-3-methyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-piperidin-4-yl)-piperazin-1-yl]-acetic acid,

(162) methyl (1'-{2-(4-chloro-3-methyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-[4,4']bipiperidinyl-1-yl)-acetate,

(163) (1'-{2-(4-chloro-3-methyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-[4,4']bipiperidinyl-1-yl)-acetic acid,

(164) 1-{2-(4-chloro-3-methyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-4-(1-methyl-piperidin-4-yl)-piperazine-2-carboxylic acid,

(165) 1-(1-{2-(4-chloro-3-methyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-piperidin-4-yl)-4-methyl-piperazine-2-carboxylic acid,

(166) 4-(1-{2-(4-chloro-3-methyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-piperidin-4-yl)-1-methyl-piperazine-2-carboxylic acid,

(167) 2-(4-chloro-3-methyl-benzyl)-1-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(168) 2-(4-chloro-3-methyl-benzyl)-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(169) [4-(1-{2-(4-chloro-3-methyl-benzyl)-4-oxo-4-[4-(5-oxo-3-phenyl-4,5-dihydro-1,2,4-triazol-1-yl)-piperidin-1-yl]-butyryl}-piperidin-4-yl)-piperazin-1-yl]-acetic acid,

(170) methyl (1'-{2-(4-chloro-3-methyl-benzyl)-4-oxo-4-[4-(5-oxo-3-phenyl-4,5-dihydro-1,2,4-triazol-1-yl)-piperidin-1-yl]-butyryl}-4,4'-bipiperidinyl-1-yl)-acetate,

(171) (1'-{2-(4-chloro-3-methyl-benzyl)-4-oxo-4-[4-(5-oxo-3-phenyl-4,5-dihydro-1,2,4-triazol-1-yl)-piperidin-1-yl]-butyryl}-4,4'-bipiperidinyl-1-yl)-acetic acid,

(172) 2-(3-bromo-4-chloro-5-methyl-benzyl)-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(173) 2-(3-bromo-4-chloro-5-methyl-benzyl)-1-(1'-methyl-[4,4']bipiperidinyl-1-yl)-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(174) 2-(3-bromo-4-chloro-5-methyl-benzyl)-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-1-(4-pyridin-4-yl-piperazin-1-yl)-butan-1,4-dione,

(175) 2-(3-bromo-4-chloro-5-methyl-benzyl)-1-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(176) [4-(1-{2-(3-bromo-4-chloro-5-methyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-piperidin-4-yl)-piperazin-1-yl]-acetic acid,

(177) methyl (1'-{2-(3-bromo-4-chloro-5-methyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-[4,4']bipiperidinyl-1-yl)-acetate,

(178) (1'-{2-(3-bromo-4-chloro-5-methyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-[4,4']bipiperidinyl-1-yl)-acetic acid,

(179) 4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carboxylic acid{1-(3-bromo-4-chloro-5-methyl-benzyl)-2-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-ethyl}-amide,

(180) 4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carboxylic acid-[2-[1,4']bi-piperidinyl-1'-yl-1-(3-bromo-4-chloro-5-methyl-benzyl)-2-oxo-ethyl]-amide,

(181) 4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carboxylic acid-{1-(3-bromo-4-chloro-5-methyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-ethyl}-amide,

(182) 4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carboxylic acid-[1-(3-bromo-4-chloro-5-methyl-benzyl)-2-(1'-methyl-[4,4']bipiperidinyl-1-yl)-2-oxo-ethyl]-amide,

(183) 4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carboxylic acid-[1-(3-bromo-4-chloro-5-methyl-benzyl)-2-oxo-2-(4-pyridin-4-yl-piperazin-1-yl)-ethyl]-amide,

(184) 2-(4-chloro-3-trifluoromethyl-benzyl)-1-(1'-methyl-[4,4']bipiperidinyl-1-yl)-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(185) 2-(4-chloro-3-trifluoromethyl-benzyl)-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(186) 1-[1,4']Bipiperidinyl-1'-yl-2-(4-chloro-3-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

(187) [4-(1-{2-(4-chloro-3-trifluoromethyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-piperidin-4-yl)-piperazin-1-yl]-acetic acid,

(188) methyl (1'-{2-(4-chloro-3-trifluoromethyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-[4,4']bipiperidinyl-1-yl)-acetate,

(189) (1'-{2-(4-chloro-3-trifluoromethyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-[4,4']bipiperidinyl-1-yl)-acetic acid,

(190) 2-(4-chloro-3-trifluoromethyl-benzyl)-1-(1'-methyl-[4,4']bipiperidinyl-1-yl)-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

the enantiomers, the diastereomers and the salts thereof.

10. Physiologically acceptable salts of the compounds according to at least one of claims 1 to 9 with inorganic or organic acids or bases inorganic or organic acids or bases.

11. Pharmaceutical compositions containing a compound according to at least one of claims 1 to 9 or a physiologically acceptable salt according to claim 10 optionally together with one or more inert carriers and/or diluents.

12. Use of a compound according to at least one of claims 1 to 10 for preparing a pharmaceutical composition for the acute and prophylactic treatment of headaches, particularly migraine or cluster headaches.

13. Use of a compound according to at least one of claims 1 to 10 for preparing a pharmaceutical composition for combating non-insulin-dependent diabetes mellitus (NIDDM).

14. Use of a compound according to at least one of claims 1 to 10 for preparing a pharmaceutical composition for the treatment of cardiovascular diseases, morphine tolerance, diarrhoea caused by clostridium toxin, skin diseases, particularly thermal and radiation-induced skin damage including sunburn, inflammatory diseases, e.g. particularly inflammatory diseases of the joints such as arthritis, neurogenic inflammation of the oral mucosa, inflammatory lung diseases, allergic rhinitis, asthma, diseases accompanied by excessive vasodilatation and resultant reduced circulation of the blood, e.g. particularly shock and sepsis, for alleviating pain in general or for preventive or acute therapeutic treatment of the symptoms of hot flushes caused by vasodilatation and increased blood flow in menopausal oestrogen-deficient women and hormone-treated patients with prostate carcinoma.

15. Process for preparing a pharmaceutical composition according to claim 11, characterised in that a compound according to at least one of claims 1 to 10 is incorporated in one or more inert carriers and/or diluents by a non-chemical method.

16. Process for preparing the compounds of general formula (I) according to at least one of claims 1 to 9, characterised in that

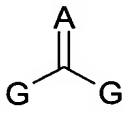
(a) in order to prepare compounds of general formula (I) wherein X denotes the oxygen atom or the NH group and R^1 to R^3 are defined as in claim 1, with the proviso that these groups do not contain any free carboxylic acid function:

reacting piperidines of general formula

, (III)

wherein R^1 is defined as in claim 1,

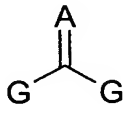
(i) with carbonic acid derivatives of general formula



, (IV)

wherein A is defined as in claim 1 and G denotes a nucleofugic group, with the proviso that X denotes the NH- group, or

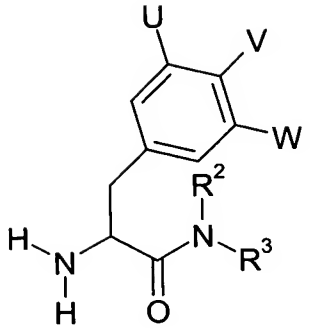
(ii) with carbonic acid derivatives of general formula



, (IV)

wherein A denotes the oxygen atom and G denotes a nucleofugic group, which may be identical or different, with the proviso that X denotes the oxygen atom,

and with compounds of general formula

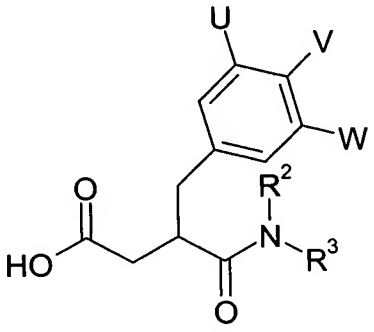


, (V)

wherein X denotes an oxygen atom or an -NH group and U, V, W, R^2 and R^3 are defined as in claim 1, with the proviso that R^2 and R^3 do not contain any free carboxylic acid and/or any other free primary or secondary aliphatic amino function or other free hydroxy function, or

(b) In order to prepare compounds of general formula (I) wherein X denotes the methylene group and R^1 to R^3 are defined as in claim 1, with the proviso that these groups do not contain any free carboxylic acid and/or other free primary or secondary aliphatic amino function:

coupling a carboxylic acid of general formula



, (VI)

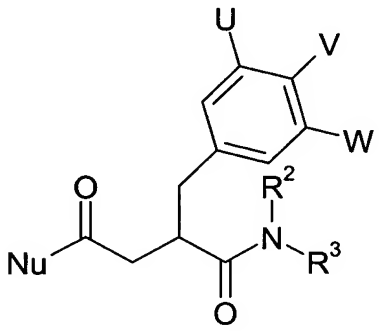
wherein U, V, W, R^2 and R^3 are defined as in claim 1, to a piperidine of general formula

, (III)

wherein R^1 is defined as in claim 1, or

(c) in order to prepare compounds of general formula (I) wherein X denotes the methylene group and R^2 and R^3 are defined as in claim 1, with the proviso that these groups do not contain any free primary or secondary amine:

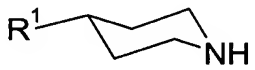
coupling a compound of general formula



, (VII)

wherein U, V, W, R^2 and R^3 are defined as in claim 1, with the proviso that R^2 and R^3 do not contain any free primary or secondary amine, and Nu denotes a leaving group,

with a piperidine of general formula



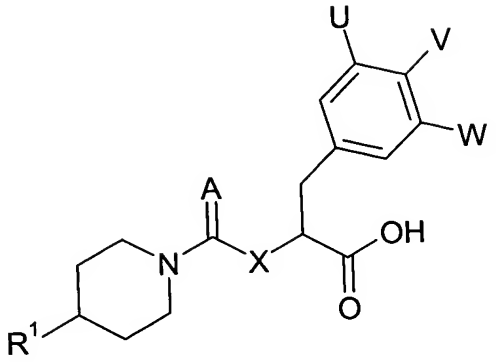
, (III)

wherein R^1 is defined as in claim 1, or

(d) in order to prepare compounds of general formula (I) wherein all the groups are

defined as in claim 1:

coupling a carboxylic acid of general formula

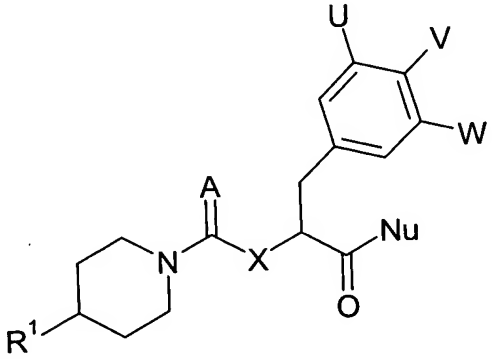


, (VIII)

wherein all the groups are defined as in claim 1, with an amine of general formula HNR^2R^3, wherein R^2 and R^3 are defined as in claim 1, with the proviso that these groups do not contain any free carboxylic acid and/or other free primary or secondary aliphatic amino function, or

(e) in order to prepare compounds of general formula (I) wherein R^1 is defined as in claim 1, with the proviso that no free primary or secondary amine is present:

coupling a compound of general formula



, (IX)

wherein all the groups are defined as in claim 1 and Nu denotes a leaving group,

with an amine of general formula HNR^2R^3, wherein R^2 and R^3 are defined as in claim 1, with the proviso that these groups do not contain any free carboxylic acid and/or other free primary or secondary aliphatic amino function, and

if necessary any protecting group used in the reactions described above is cleaved again and/or

any precursor functions used in a compound thus obtained are converted and/or

if desired a compound of general formula (I) thus obtained is resolved into the stereoisomers thereof and / or

a compound of general formula (I) thus obtained is converted into the salts thereof, particularly for pharmaceutical use into the physiologically acceptable salts thereof.